

Amendments to the specification:

Please amend the paragraphs beginning at page 17, line 1 through page 22, line 35 as follows:

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A denotes unbranched, branched or cyclic ~~eylie~~ alkyl having 1-12 C atoms, in which one or two CH<sub>2</sub> groups may be replaced by O or S atoms and/or by -CH=CH- groups and/or in addition 1-7 H atoms may be replaced by F,

Hal denotes F, Cl, Br or I,

n denotes 0, 1 or 2,

m denotes 0, 1, 2, 3 or 4,

and salts thereof.

The intermediate compounds are important for the preparation of the compounds of the formula I.

The preferred meanings of the radicals correspond to those as indicated above, unless expressly stated otherwise.

The invention also relates to the intermediate compounds in which

R<sup>1</sup> denotes NO<sub>2</sub> or CN,

R<sup>2</sup> denotes H, Hal or A,

and salts thereof.

Preference is furthermore given to intermediate compounds in which

R<sup>1</sup> denotes NO<sub>2</sub> or CN,

R<sup>2</sup> denotes H, Hal or A,

R<sup>3</sup> denotes H, A, -[C(R<sup>5</sup>)<sub>2</sub>]<sub>n</sub>-Ar or -[C(R<sup>5</sup>)<sub>2</sub>]<sub>n</sub>-Het,

and salts thereof.

Preference is furthermore given to intermediate compounds in which

Ar denotes phenyl,

and salts thereof.

Preference is furthermore given to intermediate compounds ~~according to one or more of Claims 15-18~~ in which

$R^4$  denotes A,  
and salts thereof.

Particular preference is given to intermediate compounds ~~according to one or more of Claims 15-19~~ in which

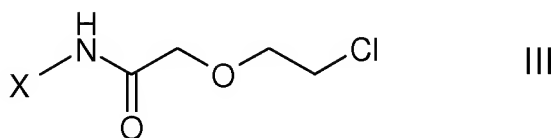
$R^1$  denotes  $\text{NO}_2$  or CN,  
 $R^2$  denotes H, Hal or A',  
 $R^3$  denotes H, A' or  $-\text{[C(R}^5\text{)]}_n\text{-Ar}$ ,  
Ar denotes phenyl,  
 $R^5$  denotes H or A',  
A' denotes unbranched or branched alkyl having 1-6 C atoms,  
Hal denotes F, Cl, Br or I,  
n denotes 0, 1 or 2,  
m denotes 0, 1 or 2,  
and salts thereof.

Particular preference is given to intermediate compounds in which

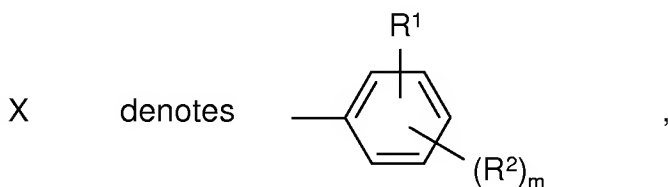
$R^1$  denotes  $\text{NO}_2$ ,  
 $R^2$  denotes H, Hal or A',  
 $R^3$  denotes H, A' or  $-\text{[C(R}^5\text{)]}_n\text{-Ar}$ ,  
Ar denotes phenyl,  
 $R^5$  denotes H or A',  
A' denotes unbranched or branched alkyl having 1-6 C atoms,  
Hal denotes F, Cl, Br or I,  
n denotes 0, 1 or 2,  
m denotes 0, 1 or 2,

and salts thereof.

The invention also relates to a process for the preparation of intermediate compounds of the formula III



in which



$R^1$  denotes  $\text{NO}_2$ ,  $\text{CN}$ ,  $\text{COOR}^3$ ,  $\text{CON}(\text{R}^3)_2$ ,  $\text{COR}^3$ ,  $\text{SO}_2\text{R}^4$ ,  $\text{SO}_2\text{N}(\text{R}^3)_2$ ,  $\text{CF}_3$ ,  $\text{F}$  or  $\text{Cl}$ ,

$R^2$  denotes  $\text{H}$ ,  $\text{Hal}$ ,  $\text{A}$ ,  $\text{OR}^3$ ,  $\text{N}(\text{R}^3)_2$ ,  $\text{NO}_2$ ,  $\text{CN}$ ,  $\text{COOR}^3$ ,  $\text{CON}(\text{R}^3)_2$ ,  $\text{NR}^3\text{COA}$ ,  $\text{NR}^3\text{CON}(\text{R}^3)_2$ ,  $\text{NR}^3\text{COOR}^3$ ,  $\text{NR}^3\text{SO}_2\text{A}$ ,  $-\text{[C(R}^5)_2\text{]}_n\text{-Ar}$ ,  $-\text{[C(R}^5)_2\text{]}_n\text{-Het}$ ,  $-\text{[C(R}^5)_2\text{]}_n\text{-cycloalkyl}$ ,  $\text{COR}^3$ ,  $\text{SO}_2\text{N}(\text{R}^3)_2$  or  $\text{SO}_2\text{R}^4$ ,

$R^3$  denotes  $\text{H}$ ,  $\text{A}$ ,  $-\text{[C(R}^5)_2\text{]}_n\text{-Ar}$  or  $-\text{[C(R}^5)_2\text{]}_n\text{-Het}$ ,

$R^4$  denotes  $\text{A}$ ,  $-\text{[C(R}^5)_2\text{]}_n\text{-Ar}$  or  $-\text{[C(R}^5)_2\text{]}_n\text{-Het}$ ,

$R^5$  denotes  $\text{H}$  or  $\text{A}'$ ,

$\text{Ar}$  denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by  $\text{Hal}$ ,  $\text{A}$ ,  $\text{OR}^5$ ,  $\text{N}(\text{R}^5)_2$ ,  $\text{NO}_2$ ,  $\text{CN}$ ,  $\text{COOR}^5$ ,  $\text{CON}(\text{R}^5)_2$ ,  $\text{NR}^5\text{COA}$ ,  $\text{NR}^5\text{SO}_2\text{A}$ ,  $\text{COR}^5$ ,  $\text{SO}_2\text{N}(\text{R}^5)_2$  or  $\text{S(O)}_n\text{A}$ ,

$\text{Het}$  denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4  $\text{N}$ ,  $\text{O}$  and/or  $\text{S}$  atoms which is unsubstituted or mono- or disubstituted by  $\text{Hal}$ ,  $\text{A}$ ,  $\text{OR}^5$ ,  $\text{N}(\text{R}^5)_2$ ,  $\text{NO}_2$ ,  $\text{CN}$ ,  $\text{COOR}^5$ ,  $\text{CON}(\text{R}^5)_2$ ,  $\text{NR}^5\text{COA}$ ,  $\text{NR}^5\text{SO}_2\text{A}$ ,  $\text{COR}^5$ ,  $\text{SO}_2\text{N}(\text{R}^5)_2$ ,  $\text{S(O)}_n\text{A}$  and/or carbonyl oxygen ( $=\text{O}$ ),

$\text{A}'$  denotes unbranched or branched alkyl having 1-6  $\text{C}$  atoms,

$\text{A}$  denotes unbranched, branched or cyclic alkyl having 1-12  $\text{C}$

atoms, in which one or two CH<sub>2</sub> groups may be replaced by O or S atoms and/or by -CH=CH- groups and/or in addition 1-7 H atoms may be replaced by F,

Hal denotes F, Cl, Br or I,

n denotes 0, 1 or 2,

m denotes 0, 1, 2, 3 or 4,

and salts thereof, characterised in that

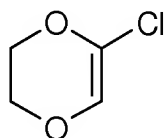
a) a compound of the formula II



in which

X has the meaning indicated above,

is reacted with 5-chloro-2,3-dihydro-1,4-dioxin



and

the compound of the formula III is optionally converted into its salt.

The conditions of the process, in particular the preferred ones, are the same as indicated under the process for the preparation of the compound of the formula I.

The preferred meanings of the radicals correspond to those as indicated above, unless expressly stated otherwise.

Preference is given to a process for the preparation of intermediate compounds of the formula III

in which

- $R^1$  denotes  $\text{NO}_2$  or  $\text{CN}$ ,  
 $R^2$  denotes  $\text{H}$ ,  $\text{Hal}$ ,  $\text{A}$ ,  $\text{OR}^3$ ,  $\text{N}(\text{R}^3)_2$ ,  $\text{NO}_2$ ,  $\text{CN}$ ,  $\text{COOR}^3$ ,  $\text{CON}(\text{R}^3)_2$ ,  $\text{NR}^3\text{COA}$ ,  $\text{NR}^3\text{CON}(\text{R}^3)_2$ ,  $\text{NR}^3\text{COOR}^3$ ,  $\text{NR}^3\text{SO}_2\text{A}$ ,  $-\text{C}(\text{R}^5)_2]_n\text{-Ar}$ ,  $-\text{C}(\text{R}^5)_2]_n\text{-Het}$ ,  $-\text{C}(\text{R}^5)_2]_n\text{-cycloalkyl}$ ,  $\text{COR}^3$ ,  $\text{SO}_2\text{N}(\text{R}^3)_2$  or  $\text{SO}_2\text{R}^4$ ,  
 $R^3$  denotes  $\text{H}$ ,  $\text{A}$ ,  $-\text{C}(\text{R}^5)_2]_n\text{-Ar}$  or  $-\text{C}(\text{R}^5)_2]_n\text{-Het}$ ,  
 $R^4$  denotes  $\text{A}$ ,  $-\text{C}(\text{R}^5)_2]_n\text{-Ar}$  or  $-\text{C}(\text{R}^5)_2]_n\text{-Het}$ ,  
 $R^5$  denotes  $\text{H}$  or  $\text{A}'$ ,  
 $\text{Ar}$  denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by  $\text{Hal}$ ,  $\text{A}$ ,  $\text{OR}^5$ ,  $\text{N}(\text{R}^5)_2$ ,  $\text{NO}_2$ ,  $\text{CN}$ ,  $\text{COOR}^5$ ,  $\text{CON}(\text{R}^5)_2$ ,  $\text{NR}^5\text{COA}$ ,  $\text{NR}^5\text{SO}_2\text{A}$ ,  $\text{COR}^5$ ,  $\text{SO}_2\text{N}(\text{R}^5)_2$  or  $\text{S}(\text{O})_n\text{A}$ ,  
 $\text{Het}$  denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms which is unsubstituted or mono- or disubstituted by  $\text{Hal}$ ,  $\text{A}$ ,  $\text{OR}^5$ ,  $\text{N}(\text{R}^5)_2$ ,  $\text{NO}_2$ ,  $\text{CN}$ ,  $\text{COOR}^5$ ,  $\text{CON}(\text{R}^5)_2$ ,  $\text{NR}^5\text{COA}$ ,  $\text{NR}^5\text{SO}_2\text{A}$ ,  $\text{COR}^5$ ,  $\text{SO}_2\text{N}(\text{R}^5)_2$ ,  $\text{S}(\text{O})_n\text{A}$  and/or carbonyl oxygen ( $=\text{O}$ ),  
 $\text{A}'$  denotes unbranched or branched alkyl having 1-6 C atoms,  
 $\text{A}$  denotes unbranched, branched or cyclic ~~exlic~~ alkyl having 1-12 C atoms, in which one or two  $\text{CH}_2$  groups may be replaced by O or S atoms and/or  $\text{A}$  denotes unbranched, branched or cyclic ~~exlic~~ alkyl having 1-12 C atoms, in which one or two  $\text{CH}_2$  groups may be replaced by O or S atoms and/or by  $-\text{CH}=\text{CH}-$  groups and/or in addition 1-7 H atoms may be replaced by F,  
 $\text{Hal}$  denotes F, Cl, Br or I,  
 $n$  denotes 0, 1 or 2,  
 $m$  denotes 0, 1, 2, 3 or 4,  
 and salts thereof.

The intermediate compounds are important for the preparation of the compounds of the formula I.

The preferred meanings of the radicals correspond to those as indicated above, unless expressly stated otherwise.

The invention also relates to the intermediate compounds ~~according to Claim 15~~ in which

$R^1$  denotes  $\text{NO}_2$  or  $\text{CN}$ ,

$R^2$  denotes H, Hal or A,

and salts thereof.

Preference is furthermore given to intermediate compounds ~~according to Claim 15~~ in which

$R^1$  denotes  $\text{NO}_2$  or  $\text{CN}$ ,

$R^2$  denotes H, Hal or A,

$R^3$  denotes H, A,  $-\text{[C(R}^5\text{)}_2\text{]}_n\text{-Ar}$  or  $-\text{[C(R}^5\text{)}_2\text{]}_n\text{-Het}$ ,

and salts thereof.

Preference is furthermore given to intermediate compounds ~~according to Claim 15, 16 or 17~~ in which

Ar denotes phenyl,

and salts thereof.

Preference is furthermore given to intermediate compounds ~~according to one or more of Claims 15-18~~ in which

$R^4$  denotes A,

and salts thereof.

Particular preference is given to intermediate compounds ~~according to one or more of Claims 15-19~~ in which

$R^1$  denotes  $\text{NO}_2$  or  $\text{CN}$ ,

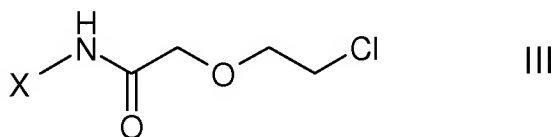
$R^2$  denotes H, Hal or A',

$R^3$  denotes H, A' or  $-[C(R^5)_2]_n-Ar$ ,  
 Ar denotes phenyl,  
 $R^5$  denotes H or A',  
 A' denotes unbranched or branched alkyl having 1-6 C atoms,  
 Hal denotes F, Cl, Br or I,  
 n denotes 0, 1 or 2,  
 m denotes 0, 1 or 2,  
 and salts thereof.

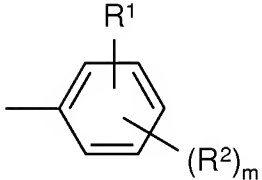
Particular preference is given to intermediate compounds ~~according to Claim 20~~  
~~in which~~

$R^1$  denotes  $NO_2$ ,  
 $R^2$  denotes H, Hal or A',  
 $R^3$  denotes H, A' or  $-[C(R^5)_2]_n-Ar$ ,  
 Ar denotes phenyl,  
 $R^5$  denotes H or A',  
 A' denotes unbranched or branched alkyl having 1-6 C atoms,  
 Hal denotes F, Cl, Br or I,  
 n denotes 0, 1 or 2,  
 m denotes 0, 1 or 2,  
 and salts thereof.

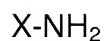
The invention also relates to a process for the preparation of intermediate compounds of the formula III



in which

X	denotes		,
R <sup>1</sup>	denotes	NO <sub>2</sub> , CN, COOR <sup>3</sup> , CON(R <sup>3</sup> ) <sub>2</sub> , COR <sup>3</sup> , SO <sub>2</sub> R <sup>4</sup> , SO <sub>2</sub> N(R <sup>3</sup> ) <sub>2</sub> , CF <sub>3</sub> , F or Cl,	
R <sup>2</sup>	denotes	H, Hal, A, OR <sup>3</sup> , N(R <sup>3</sup> ) <sub>2</sub> , NO <sub>2</sub> , CN, COOR <sup>3</sup> , CON(R <sup>3</sup> ) <sub>2</sub> , NR <sup>3</sup> COA, NR <sup>3</sup> CON(R <sup>3</sup> ) <sub>2</sub> , NR <sup>3</sup> COOR <sup>3</sup> , NR <sup>3</sup> SO <sub>2</sub> A, -[C(R <sup>5</sup> ) <sub>2</sub> ] <sub>n</sub> -Ar, -[C(R <sup>5</sup> ) <sub>2</sub> ] <sub>n</sub> -Het, -[C(R <sup>5</sup> ) <sub>2</sub> ] <sub>n</sub> -cycloalkyl, COR <sup>3</sup> , SO <sub>2</sub> N(R <sup>3</sup> ) <sub>2</sub> or SO <sub>2</sub> R <sup>4</sup> ,	
R <sup>3</sup>	denotes	H, A, -[C(R <sup>5</sup> ) <sub>2</sub> ] <sub>n</sub> -Ar or -[C(R <sup>5</sup> ) <sub>2</sub> ] <sub>n</sub> -Het,	
R <sup>4</sup>	denotes	A, -[C(R <sup>5</sup> ) <sub>2</sub> ] <sub>n</sub> -Ar or -[C(R <sup>5</sup> ) <sub>2</sub> ] <sub>n</sub> -Het,	
R <sup>5</sup>	denotes	H or A',	
Ar	denotes	phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OR <sup>5</sup> , N(R <sup>5</sup> ) <sub>2</sub> , NO <sub>2</sub> , CN, COOR <sup>5</sup> , CON(R <sup>5</sup> ) <sub>2</sub> , NR <sup>5</sup> COA, NR <sup>5</sup> SO <sub>2</sub> A, COR <sup>5</sup> , SO <sub>2</sub> N(R <sup>5</sup> ) <sub>2</sub> or S(O) <sub>n</sub> A,	
Het	denotes	a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms which is unsubstituted or mono- or disubstituted by Hal, A, OR <sup>5</sup> , N(R <sup>5</sup> ) <sub>2</sub> , NO <sub>2</sub> , CN, COOR <sup>5</sup> , CON(R <sup>5</sup> ) <sub>2</sub> , NR <sup>5</sup> COA, NR <sup>5</sup> SO <sub>2</sub> A, COR <sup>5</sup> , SO <sub>2</sub> N(R <sup>5</sup> ) <sub>2</sub> , S(O) <sub>n</sub> A and/or carbonyl oxygen (=O),	
A'	denotes	unbranched or branched alkyl having 1-6 C atoms,	
A	denotes	unbranched, branched or <u>cyclic</u> alkyl having 1-12 C atoms, in which one or two CH <sub>2</sub> groups may be replaced by O or S atoms and/or by -CH=CH- groups and/or in addition 1-7 H atoms may be replaced by F,	
Hal	denotes	F, Cl, Br or I,	
n	denotes	0, 1 or 2,	
m	denotes	0, 1, 2, 3 or 4,	
	and salts thereof, characterised in that		
a)	a compound of the formula II		



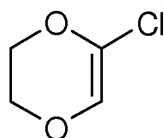


II

in which

X has the meaning indicated above,

is reacted with 5-chloro-2,3-dihydro-1,4-dioxin



and

the compound of the formula III is optionally converted into its salt.

The conditions of the process, in particular the preferred ones, are the same as indicated under the process for the preparation of the compound of the formula I.

The preferred meanings of the radicals correspond to those as indicated above, unless expressly stated otherwise.

Preference is given to a process according to ~~Claim 22~~ for the preparation of intermediate compounds of the formula III

in which

$R^1$  denotes  $\text{NO}_2$  or  $\text{CN}$ ,

$R^2$  denotes H, Hal, A,  $\text{OR}^3$ ,  $\text{N}(\text{R}^3)_2$ ,  $\text{NO}_2$ ,  $\text{CN}$ ,  $\text{COOR}^3$ ,  $\text{CON}(\text{R}^3)_2$ ,  $\text{NR}^3\text{COA}$ ,  $\text{NR}^3\text{CON}(\text{R}^3)_2$ ,  $\text{NR}^3\text{COOR}^3$ ,  $\text{NR}^3\text{SO}_2\text{A}$ ,  $-\text{[C(R}^5)_2\text{]}_n\text{-Ar}$ ,  $-\text{[C(R}^5)_2\text{]}_n\text{-Het}$ ,  $-\text{[C(R}^5)_2\text{]}_n\text{-cycloalkyl}$ ,  $\text{COR}^3$ ,  $\text{SO}_2\text{N(R}^3)_2$  or  $\text{SO}_2\text{R}^4$ ,

$R^3$  denotes H, A,  $-\text{[C(R}^5)_2\text{]}_n\text{-Ar}$  or  $-\text{[C(R}^5)_2\text{]}_n\text{-Het}$ ,

$R^4$  denotes A,  $-\text{[C(R}^5)_2\text{]}_n\text{-Ar}$  or  $-\text{[C(R}^5)_2\text{]}_n\text{-Het}$ ,

$R^5$  denotes H or A',

- Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OR<sup>5</sup>, N(R<sup>5</sup>)<sub>2</sub>, NO<sub>2</sub>, CN, COOR<sup>5</sup>, CON(R<sup>5</sup>)<sub>2</sub>, NR<sup>5</sup>COA, NR<sup>5</sup>SO<sub>2</sub>A, COR<sup>5</sup>, SO<sub>2</sub>N(R<sup>5</sup>)<sub>2</sub> or S(O)<sub>n</sub>A,
- Het denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms which is unsubstituted or mono- or disubstituted by Hal, A, OR<sup>5</sup>, N(R<sup>5</sup>)<sub>2</sub>, NO<sub>2</sub>, CN, COOR<sup>5</sup>, CON(R<sup>5</sup>)<sub>2</sub>, NR<sup>5</sup>COA, NR<sup>5</sup>SO<sub>2</sub>A, COR<sup>5</sup>, SO<sub>2</sub>N(R<sup>5</sup>)<sub>2</sub>, S(O)<sub>n</sub>A and/or carbonyl oxygen (=O),
- A' denotes unbranched or branched alkyl having 1-6 C atoms,
- A denotes unbranched, branched or cyclic alkyl having 1-12 C atoms, in which one or two CH<sub>2</sub> groups may be replaced by O or S atoms and/or by -CH=CH- groups and/or in addition 1-7 H atoms may be replaced by F,
- Hal denotes F, Cl, Br or I,
- n denotes 0, 1 or 2,
- m denotes 0, 1, 2, 3 or 4.

Preference is furthermore given to a process ~~according to Claim 23~~ for the preparation of intermediate compounds of the formula III in which

- R<sup>1</sup> denotes NO<sub>2</sub> or CN,
- R<sup>2</sup> denotes H, Hal or A.

Preference is furthermore given to a process ~~according to Claim 23~~ for the preparation of intermediate compounds of the formula III in which

- R<sup>1</sup> denotes NO<sub>2</sub> or CN,
- R<sup>2</sup> denotes H, Hal or A,
- R<sup>3</sup> denotes H, A, -[C(R<sup>5</sup>)<sub>2</sub>]<sub>n</sub>-Ar or -[C(R<sup>5</sup>)<sub>2</sub>]<sub>n</sub>-Het.

Preference is furthermore given to a process ~~according to Claim 23~~ for the preparation of intermediate compounds of the formula III

in which

Ar denotes phenyl.

Preference is also given to a process ~~according to Claim 23~~ for the preparation of intermediate compounds of the formula III

in which

R<sup>4</sup> denotes A.

Particular preference is given to a process ~~according to Claim 23~~ for the preparation of intermediate compounds of the formula III

in which

R<sup>1</sup> denotes NO<sub>2</sub> or CN,

R<sup>2</sup> denotes H, Hal or A',

R<sup>3</sup> denotes H, A' or -[C(R<sup>5</sup>)<sub>2</sub>]<sub>n</sub>-Ar,

Ar denotes phenyl,

R<sup>5</sup> denotes H or A',

A' denotes unbranched or branched alkyl having 1-6 C atoms,

Hal denotes F, Cl, Br or I,

n denotes 0, 1 or 2,

m denotes 0, 1 or 2.

Above and below, all temperatures are indicated in °C.

Mass spectrometry (MS): EI (electron impact ionisation) M<sup>+</sup>;

ESI (electrospray ionisation) (M+H)<sup>+</sup>;

FAB (fast atom bombardment) (M+H)<sup>+</sup>

### **Example 1**

4-(4-Nitrophenyl)-3-oxomorpholine

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